ALTERATION OF NEUROPEPTIDE PROPERTIES THROUGH HYDROPHOBIC ION PAIRING. Michael E. Powers, James Matsuura, Mark C. Manning, and Eli Shefter*, School of Pharmacy, University of Colorado Health Sciences Center, Denver, CO 80262. *Current address: Access Pharmaceuticals, 2600 N. Stemmons Highway, Dallas, TX 75207

Delivery of biologically active peptides and analogs to the central nervous system remains a major obstacle in the use of these materials as therapeutic agents. While a number of chemical and physical properties hinder delivery of peptides, one important aspect is the lipophilicity of the drug. We have found that addition of stoichiometric amounts of sodium dodecyl sulfate (SDS) to a basic neuropeptide results in diminished aqueous solubility and enhanced solubility in organic solvents. The result is a dramatic increase in a common indicator of lipophilicity, the octanol/water partition coefficient. The increase is sometimes as much as four orders of magnitude. The process, refereed to as hydrophobic ion pairing (HIP), may provide alternative methodology for enhancing the delivery of neuropeptides.

Source: https://www.industrydocuments.ucsf.edu/docs/pnfb0000